

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau(43) International Publication Date  
28 December 2000 (28.12.2000)(10) International Publication Number  
WO 00/78302 A1

PCT

(51) International Patent Classification<sup>7</sup>: A61K 31/075,  
31/13, 31/16, 31/21, 31/325, 38/02, 38/28Jayanthi [US/US]; 301 Accolade Drive, Cary, NC 27513  
(US).

(21) International Application Number: PCT/US00/16879

(74) Agent: HULTQUIST, Steven, J.; Intellectual Prop-  
erty/Technology Law, Attn: Steven, J. Hultquist, Suite  
110, 6320 Quadrangle Drive, Chapel Hill, NC 27514 (US).

(22) International Filing Date: 19 June 2000 (19.06.2000)

(25) Filing Language: English

(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,  
DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,  
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(26) Publication Language: English

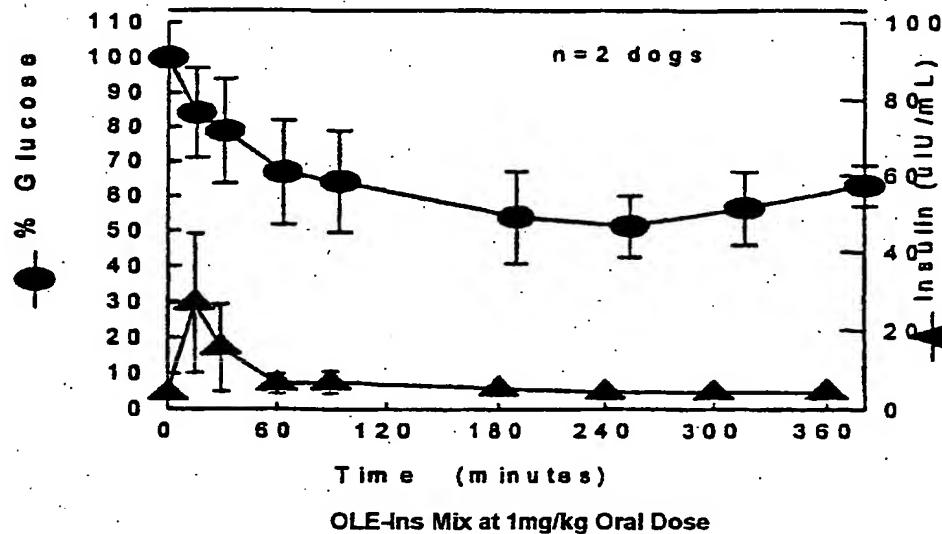
(84) Designated States (regional): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian  
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European  
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,  
IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).(30) Priority Data:  
09/336,548 19 June 1999 (19.06.1999) US

Published:

— With international search report.

[Continued on next page]

(54) Title: AMPHIPHILIC DRUG-OLIGOMER CONJUGATES WITH HYDROLYZABLE LIPOPHILE COMPONENTS AND METHODS FOR MAKING AND USING THE SAME



WO 00/78302 A1

(57) Abstract: The present invention relates generally to hydrolyzable drug-oligomer conjugates, pharmaceutical compositions comprising such conjugates, and to methods for making and using such conjugates and pharmaceutical compositions. For example, a conjugate of insulin, PEG, and oleic acid can be orally administered.